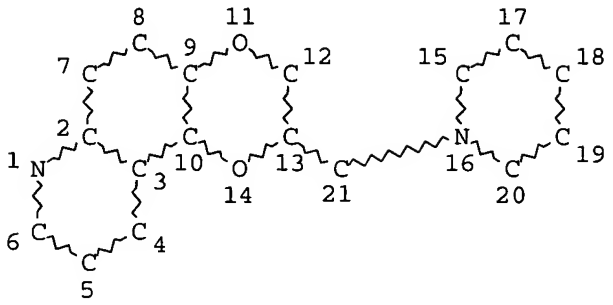
=> d 12
L2 HAS NO ANSWERS
L2 STR



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 16 13
NUMBER OF NODES IS 21

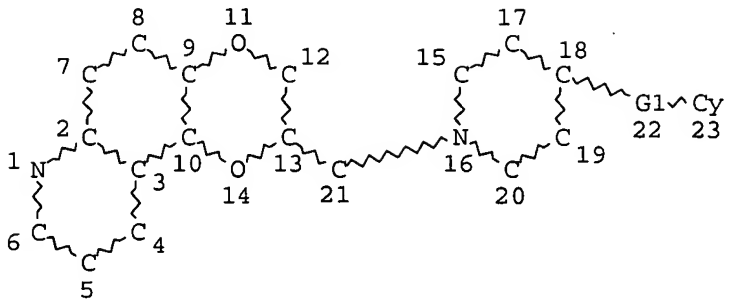
STEREO ATTRIBUTES: NONE

=> s l2 ful
FULL SEARCH INITIATED 10:05:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 620 TO ITERATE

100.0% PROCESSED 620 ITERATIONS 94 ANSWERS
SEARCH TIME: 00.00.01

L4 94 SEA SSS FUL L2

L5 HAS NO ANSWERS
L5 STR



REP G1=(0-2) CH
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 18 13
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE

=> search l5
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l4
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
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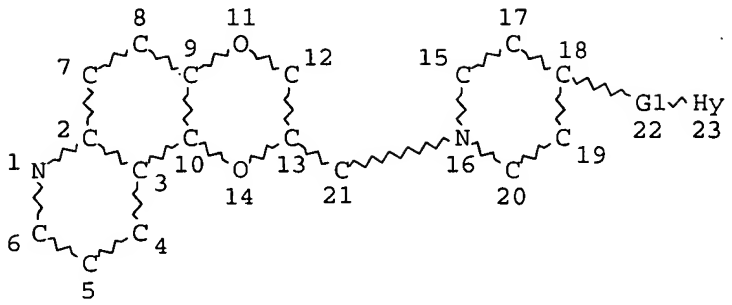
FULL SUBSET SCREEN SEARCH COMPLETED - 94 TO ITERATE

100.0% PROCESSED 94 ITERATIONS 81 ANSWERS
SEARCH TIME: 00.00.01

L6 81 SEA SUB=L4 SSS FUL L5

L7 HAS NO ANSWERS

L7 STR



REP G1=(0-2) CH
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 18 13
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE

=> search l7
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l6
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 10:07:49 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 81 TO ITERATE

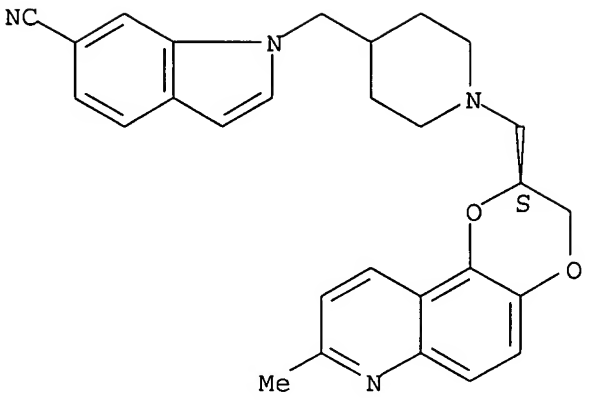
100.0% PROCESSED 81 ITERATIONS 72 ANSWERS
SEARCH TIME: 00.00.01

L8 72 SEA SUB=L6 SSS FUL L7

=> d scan

L8 72 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Indole-6-carbonitrile, 1-[[1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI)
MF C28 H28 N4 O2
CI COM

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	240.44	240.65

FILE 'CAPLUS' ENTERED AT 10:08:03 ON 11 APR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 11 Apr 2005 VOL 142 ISS 16
FILE LAST UPDATED: 10 Apr 2005 (20050410/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l8
L9 6 L8

=> d bib abs 1-6

L9 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:550738 CAPLUS
DN 141:89093
TI Preparation of azaheterocyclylmethyl derivatives of heterocycle-fused benzodioxans as antidepressants
IN Zhou, Dahui; Stack, Gary Paul
PA USA
SO U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Provisional Ser. No.

410,168.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004132714	A1	20040708	US 2003-659167	20030910
	WO 2004024730	A1	20040325	WO 2003-US28413	20030911

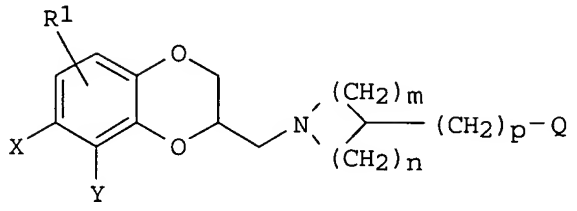
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	US 2002-410168P	P	20020912
	US 2003-659167	A	20030910

OS MARPAT 141:89093

GI



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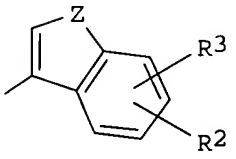
Q1=



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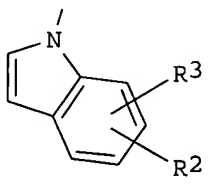


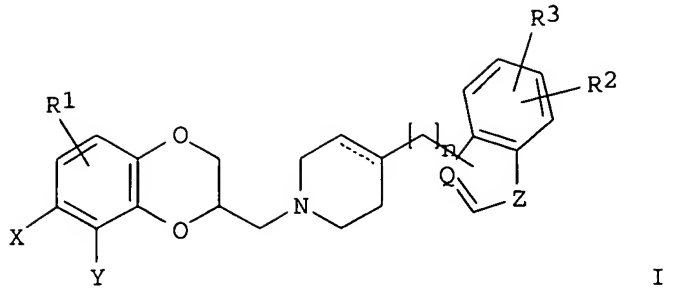
AB (azaheterocyclylmethyl)heterocycle-fused benzodioxan derivs. [Q = Q1, Q2; R1, R2, R3, X, Y = H, HO, halo, cyano, carboxamido, C2-6 carboalkoxy, CF3, C1-6 alkyl, C1-6 alkoxy, C2-6 alkanoyl, C2-6 alkanoyloxy, amino, mono- or di(C1-6 alkyl)amino, C2-6 alkanamido, C1-6 alkanesulfonyl, C1-6 alkanesulfonamido; or X and Y, taken together, form -N:C(R4)C(R5):N-, -N:C(R4)C(R6):CH-, -N:C(R4)N:CH-, -N:C(R4)O-, -NHC(R7):N- or -NHC(R8):CH-; R4, R5 = H, halo, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, C1-6 alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, halo, CF3, pentafluoroethyl, C1-6 alkyl; Z = O, S, or NR9 (R9 = H, C1-6 alkyl); n = an integer 0, 1, or 2; m = an integer from 1 to 4, provided that m+n≤4 and that when m = n = 2, and Q is Q2 then X and Y are not NH-C(R8):CH-; p = an integer from 1 to 3, provided that p+n = 2 or 3] or pharmaceutically acceptable salts thereof are prepared These compds. inhibit serotonin reuptake and are antagonists of the 5HT1A receptor and are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual

syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses. Thus, a solution of (2R)-4-bromobenzenesulfonic acid (8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinolin-2-yl)methyl ester (0.35 g, 0.80 mmol), 3-[(azetidin-3-yl)methyl]-5-fluoro-1H-indole (0.19 g, 0.96 mmol), and Et3N (0.16 mL, 1.2 mmol) in DMSO (20 mL) was heated at 90° under nitrogen overnight to give, after workup and silica gel chromatog., (S)-2-[[3-[(5-Fluoro-1H-indol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline (II) as a brown oil which was converted into the dihydrochloride. II.2HCl and (S)-1-[2-[1-[(8-Methyl-2,3-dihydro-[1,4]-dioxino[2,3-f]quinolin-2-yl)methyl]azetidin-3-yl]ethyl]-1H-indole-6-carbonitrile showed an affinity to 5-HT1A serotonin receptor in displacing [3H]8-OHDPAT (dipropylaminotetralin) from 5-HT1A serotonin receptor in CHO cells with Ki of 2.50 and 1.52 nM, resp.

L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:252517 CAPLUS
DN 140:287397
TI Preparation of piperidine derivatives of heterocycle-fused benzodioxans as serotonin reuptake inhibitors and 5-HT1A receptors antagonists for treating depression
IN Webb, Michael Byron; Stack, Gary Paul; Asselin, Magda; Evrard, Deborah Ann
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004024733	A1	20040325	WO 2003-US28523	20030911
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004147523	A1	20040729	US 2003-659160	20030910
PRAI	US 2002-410033P	P	20020912		

OS MARPAT 140:287397
GI



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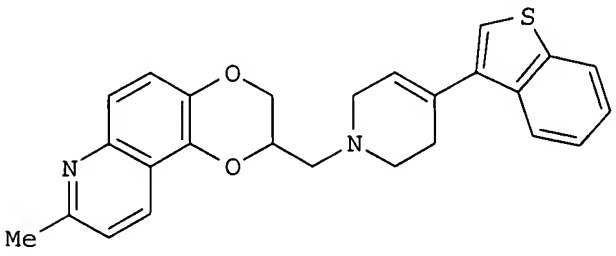

II

AB The title compds. (shown as I; variables defined below; e.g. II), useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared For I: R1, R2 and R3 = H, OH, halo, CN, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyl, alkanoyloxy, NH2, mono- or dialkylamino, alkanamido, alkanesulfonyl or alkanesulfonamido; X, Y = H, OH, halo, CN, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonyl or alkanesulfonamido, or X and Y, taken together, form -N:C(R4)-C(R5):N-, -N:C(R4)-C(R6):CH-, -N:C(R4)-N:CH-, -N:C(R4)-O-, -NH-C(R7):N- or -NH-C(R8):CH-; R4 and R5 = H, halo, amino, mono- or dialkylamino; R6 = H, alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or dialkylamino; R8 = H, halo, CF3, pentafluoroethyl, alkyl; the dotted line = an optional double bond; Z = O, S; Q = C, N; n = 0-1; addnl. details are given in the claims. Although the methods of preparation are not claimed, 14 example prepns. are included. For example, II was prepared by reacting [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-toluenesulfonate with 4-(benzo[b]thiophen-3-yl)-1,2,3,6-tetrahydropyridine in DMSO. The compds. I were tested for serotonin transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors, and biol. data were given for all exemplified compds. The pharmaceutical composition comprising the compound I is claimed.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:252514 CAPLUS
DN 140:287395
TI Preparation of antidepressant azaheterocyclylmethyl derivs. of heterocycle-fused benzodioxans
IN Zhou, Dahui; Stack, Gary Paul
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004024730	A1	20040325	WO 2003-US28413	20030911
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004132714	A1	20040708	US 2003-659167	20030910
PRAI	US 2002-410168P	P	20020912		
	US 2003-659167	A	20030910		

OS MARPAT 140:287395

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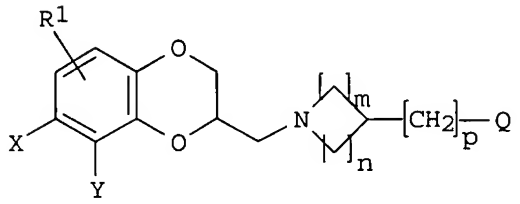

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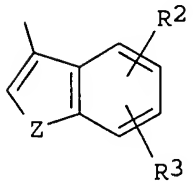
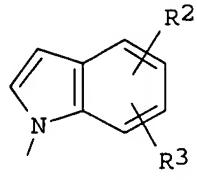


II



III

AB The title compds. [I; Q = II, III; R1-R3 = H, OH, halo, CN, carboxamido, etc.; X, Y = H, OH, halo, CN, etc.; or X and Y, taken together, form N:CR4CR5:N, N:CR4CR5:CH, N:CR4N:CH, N:CR4O, NHCR7:N, NHCR8:CH; R4, R5 = H, halo, NH2, mono- or dialkylamino, alkyl; R6 = H, alkyl; R7 = H, halo, CF3, etc.; R8 = H, halo, CF3, etc.; Z = O, S, NR9; R9 = H, alkyl; n = 0-2; m = 1-4 (with provisos); p = 1-3 (p+n = 2-3)], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting 4-bromobenzenesulfonic acid (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl ester with 3-azetidin-3-ylmethyl-5-fluoro-1H-indole in the presence of Et3N in DMSO afforded (2S)-2-[3-(5-fluoro-1H-indol-3-ylmethyl)azetidin-1-ylmethyl]-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and

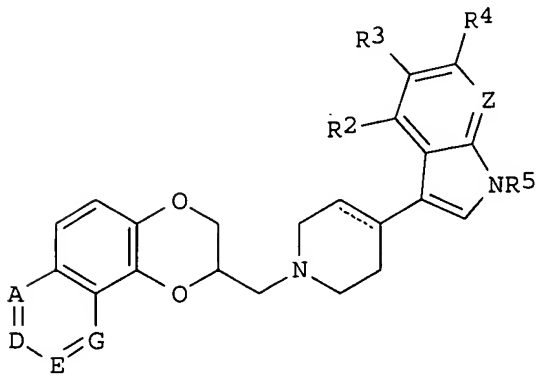
antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:888742 CAPLUS
DN 137:384846
TI Process for preparation of indolylpyridinylmethyldioxinoquinolines and related compounds
IN Chan, Anita Wai-Yin; Curran, Timothy Thomas; Iera, Silvio; Chew, Warren; Sellstedt, John Hamilton; Vid, Galina; Feigelson, Gregg; Ding, Zhixian
PA Wyeth, John and Brother Ltd., USA
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002092602	A2	20021121	WO 2002-US15097	20020514
	WO 2002092602	A3	20030227		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002187983	A1	20021212	US 2002-145369	20020514
	US 6693197	B2	20040217		
	EP 1387845	A2	20040211	EP 2002-736790	20020514
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2002009901	A	20040713	BR 2002-9901	20020514
	JP 2004530693	T2	20041007	JP 2002-589486	20020514
	US 2004186123	A1	20040923	US 2003-734867	20031212
PRAI	US 2001-291547P	P	20010517		
	US 2002-145369	A3	20020514		
	WO 2002-US15097	W	20020514		

OS CASREACT 137:384846; MARPAT 137:384846
GI



I

AB Title compds. [I; R1 = H, OH, halo, cyano, carboxamido, carboalkoxy,

alkyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R2, R3, R4, R6 = H, OH, halo, cyano, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R5 = H, alkyl; dotted line = optional double bond; A, D = CR1, N; provided that ≥1 of A and D = N; E, G = CR1; Z = N, CR6], were prepared by a 7-step process. Thus, [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-methylbenzenesulfonate (preparation given), 3-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole (preparation given) and K2CO3 were heated in THF:DMF at 80-83° for 10 h to give 72% (2S)-2-[4-(1H-indol-3-yl)-3,6-dihydro-2H-pyridin-1-ylmethyl]-8-methyl-2,3-dihydro-1,4-dioxino[2,3-f]quinoline.

L9 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:849635 CAPLUS
DN 137:353035
TI Preparation of azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline as 5-HT1A antagonists
IN Stack, Gary Paul; Tran, Megan; Gross, Jonathan Laird; Husbands, George Edward Morris
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002088132	A1	20021107	WO 2002-US13029	20020425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002193366	A1	20021219	US 2002-131997	20020425
US 6821981	B2	20041123		
PRAI US 2001-286567P	P	20010426		

OS MARPAT 137:353035
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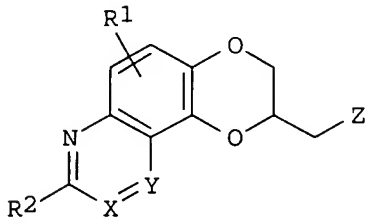

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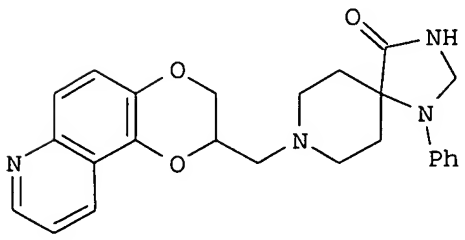


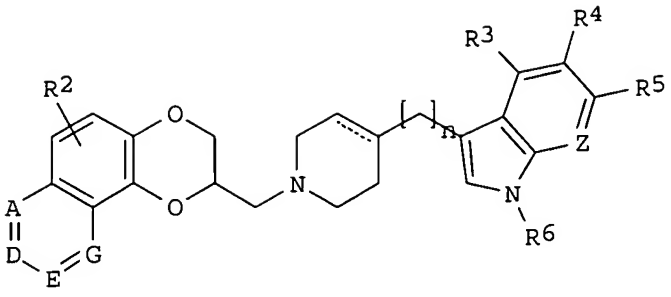
II

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, OH, halo, etc.; X = N, CR3; Y = N, CH; R3 = H, alkyl; Z = (un)substituted pyrrolidino, piperidino, morpholino, etc.], useful for the treatment of disorders, such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as appetite, thermoregulation, sleep and sexual behavior, were prepared E.g., a 9-step synthesis of (S)-II, starting from 5-nitroguaiacol and allyl bromide, which showed IC50 of 1.44 nM when tested for 5-HT1A receptor affinity, was given.

L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:716282 CAPLUS
DN 137:247706
TI Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline
IN Tran, Megan; Stack, Gary Paul
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002072587	A1	20020919	WO 2002-US7192	20020312
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 6458802	B1	20021001	US 2002-95505	20020312
	US 2002165245	A1	20021107		
	EP 1392697	A1	20040303	EP 2002-721325	20020312
	EP 1392697	B1	20041103		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	AT 281459	E	20041115	AT 2002-721325	20020312
	US 2003045542	A1	20030306	US 2002-228744	20020827
	US 6599915	B2	20030729		
PRAI	US 2001-275564P	P	20010314		
	US 2002-95505	A1	20020312		
	WO 2002-US7192	W	20020312		

OS MARPAT 137:247706
GI



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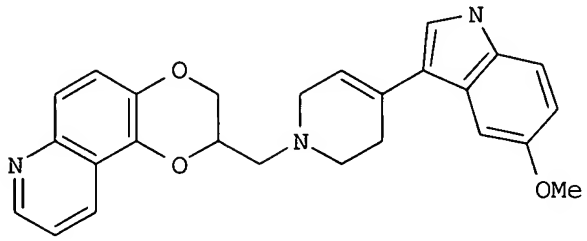

II

AB The title compds. [I; R1 = H, OH, halo, CN, etc.; R2-R5, R7 = H, OH, halo, etc.; R6 = H, alkyl; A, D = CR1, N (provided that at least one of A and D = N); E, G = CR1; Z = N, CR7; n = 0-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addition, sexual dysfunction and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl-4-methylbenzenesulfonate (multi-step preparation given) with 5-methoxy-3-(1,2,3,6-tetrahydro-4-pyridyl)-1H-indole in DMSO afforded (S)-II. All 23 prepared compds. I were tested in the three standard exptl. tests for serotonin 5-HT1A receptor activity (biol. data given).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:252517 CAPLUS
DN **140:287397**
TI Preparation of piperidine derivatives of heterocycle-fused benzodioxans as serotonin reuptake inhibitors and 5-HT1A receptors antagonists for treating depression
IN Webb, Michael Byron; Stack, Gary Paul; Asselin, Magda; Evrard, Deborah Ann
PA Wyeth, John, and Brother Ltd., USA
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004024733	A1	20040325	WO 2003-US28523	20030911
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004147523	A1	20040729	US 2003-659160	20030910
PRAI	US 2002-410033P	P	20020912		

OS MARPAT 140:287397
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> analyze l1
ENTER ANSWER NUMBER OR RANGE (1-):1
ENTER DISPLAY CODE (TI) OR ?:rn
L2 ANALYZE L1 1 RN : 74 TERMS

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	13.94	14.15

FILE 'REGISTRY' ENTERED AT 07:16:32 ON 14 APR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 APR 2005 HIGHEST RN 848462-79-3
DICTIONARY FILE UPDATES: 13 APR 2005 HIGHEST RN 848462-79-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> s l2
L3          74 L2

=> s l3 and ?quinol?
LEFT TRUNCATION IGNORED FOR '?QUINOL?' FOR FILE 'REGISTRY'
        690992 QUINOL?
L4          28 L3 AND ?QUINOL?
Left truncation is not valid in the specified search field in the
specified file.  The term has been searched without left truncation.
Examples: '?TERPEN?' would be searched as 'TERPEN?' and '?FLAVONOID'
would be searched as 'FLAVONOID.'

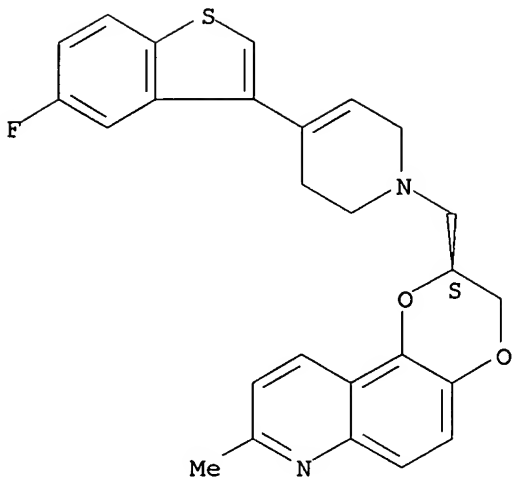
If you are searching in a field that uses implied proximity, and you
used a truncation symbol after a punctuation mark, the system may
interpret the truncation symbol as being at the beginning of a term.
Implied proximity is used in search fields indexed as single words,
for example, the Basic Index.

=> s l4 and benz?
       6409182 BENZ?
L5          23 L4 AND BENZ?

=> d scan

L5   23 ANSWERS   REGISTRY  COPYRIGHT 2005 ACS on STN
IN   1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluorobenzo[b]thien-3-yl)-3,6-
     dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)
MF   C26 H23 F N2 O2 S
CI   COM

Absolute stereochemistry.
```



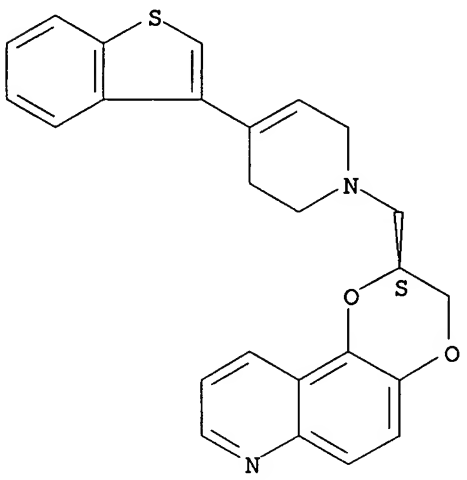
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):22

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-3-yl-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-, (2S)-, ethanedioate (1:1) (9CI)**
MF C25 H22 N2 O2 S . C2 H2 O4

CM 1

Absolute stereochemistry.



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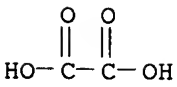
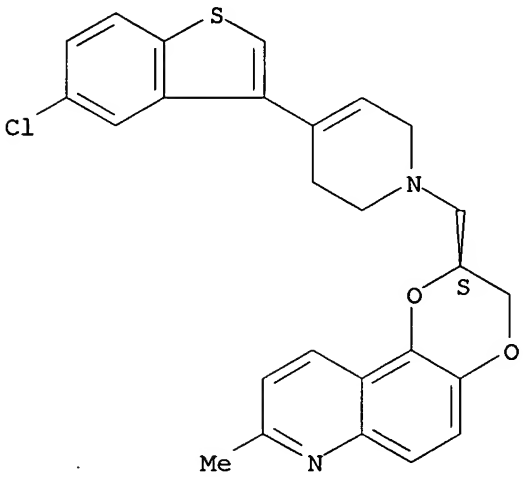


L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-chlorobenzo[b]thien-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)**
MF C26 H23 Cl N2 O2 S
CI COM

Absolute stereochemistry.

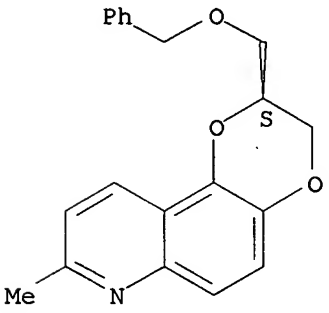


Claim 29

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[(phenylmethoxy)methyl]-, (2S)- (9CI)**
MF C20 H19 N O3

Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

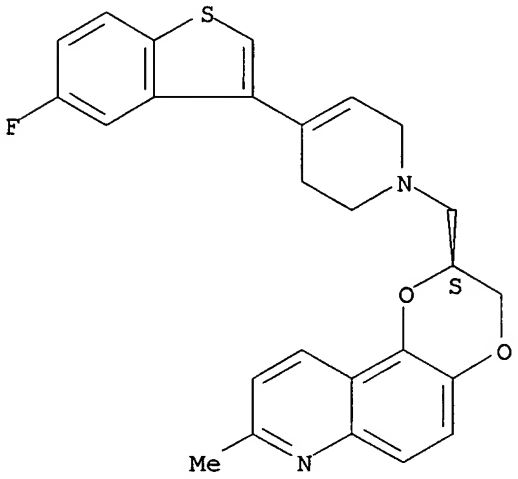
L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluorobenzo[b]thien-3-yl)-3,6-**

dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1) (9CI)

MF C26 H23 F N2 O2 S . C2 H2 O4

CM 1

Absolute stereochemistry.



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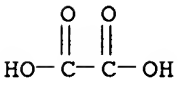


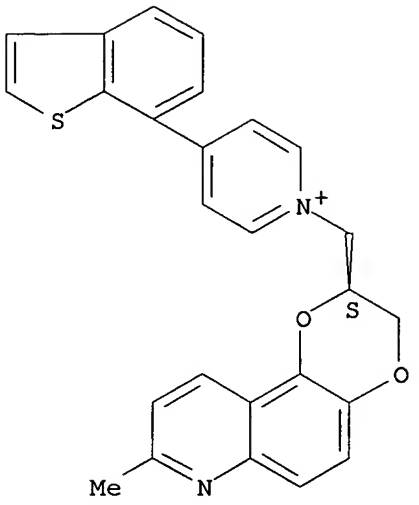
L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN **Pyridinium, 4-benzo[b]thien-7-yl-1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-, salt with 4-bromobenzenesulfonic acid (1:1) (9CI)**

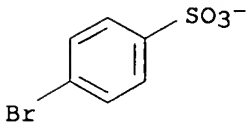
MF C26 H21 N2 O2 S . C6 H4 Br O3 S

CM 1

Absolute stereochemistry.



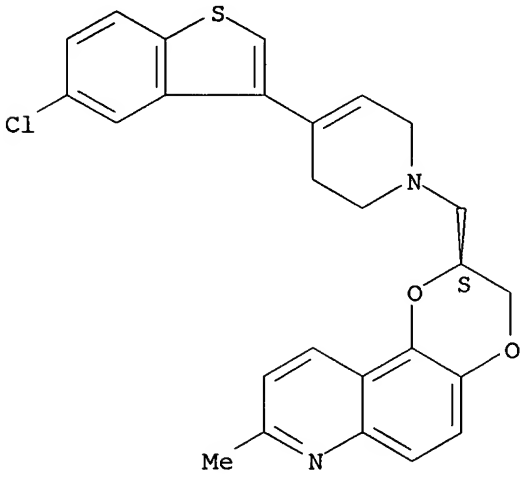
CM 2



L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-chlorobenzo[b]thien-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1) (9CI)**
MF C26 H23 Cl N2 O2 S . C2 H2 O4

CM 1

Absolute stereochemistry.



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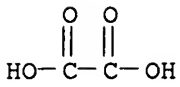
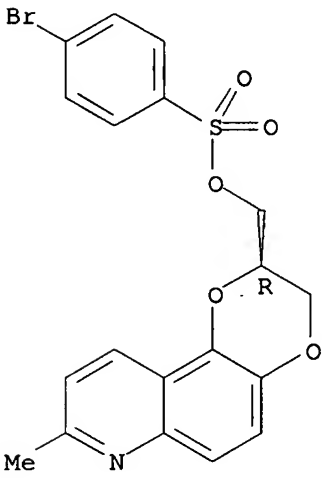


L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **Benzenesulfonic acid, 4-bromo-, [(2R)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl ester (9CI)**
MF C19 H16 Br N O5 S

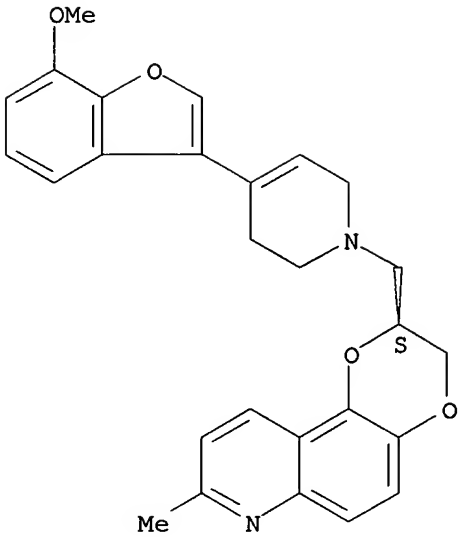
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(7-methoxy-3-benzofuranyl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-(9CI)**
MF C27 H26 N2 O4

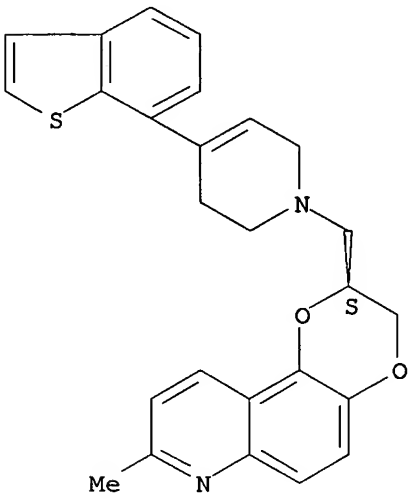
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-7-yl-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)**
MF C26 H24 N2 O2 S
CI COM

Absolute stereochemistry.

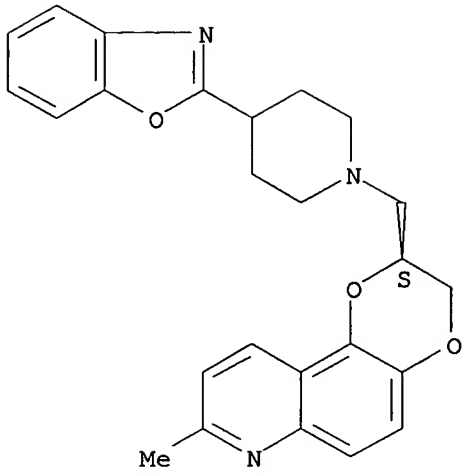


Claim 26

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2-benzoxazolyl)-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)**
MF C25 H25 N3 O3

Absolute stereochemistry.

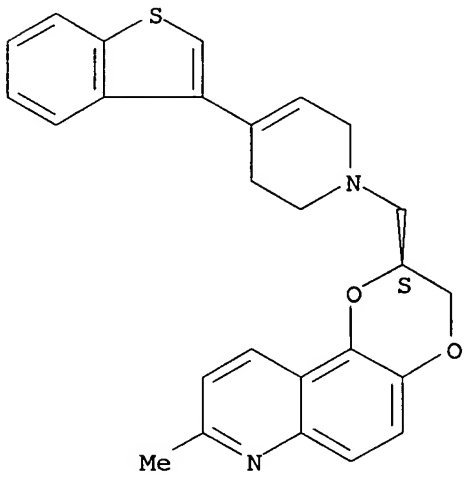


claim 30

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-3-yl-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)**
MF C26 H24 N2 O2 S
CI COM

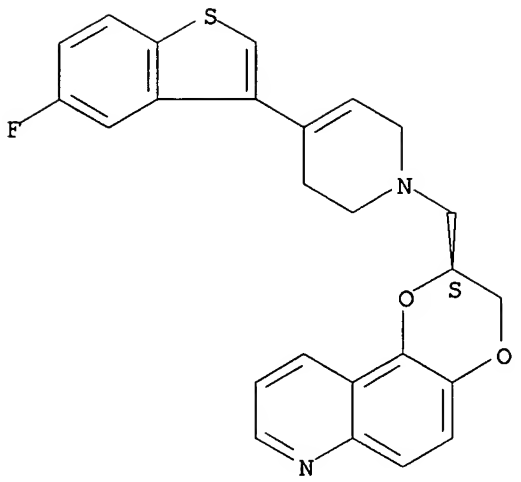
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluorobenzo[b]thien-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (9CI)**
MF C25 H21 F N2 O2 S
CI COM

Absolute stereochemistry.

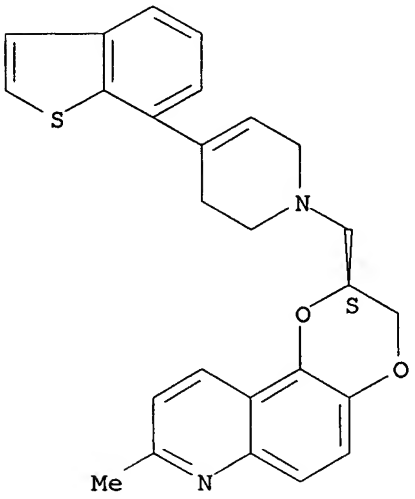


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-7-yl-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1) (9CI)**
MF C26 H24 N2 O2 S . C2 H2 O4

CM 1

Absolute stereochemistry.



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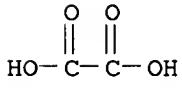
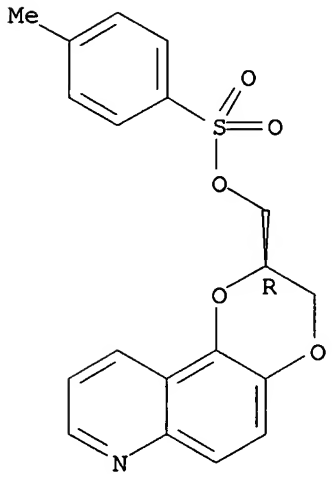


L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-, 4-methylbenzenesulfonate (ester), (2R)- (9CI)**
MF C19 H17 N O5 S

Absolute stereochemistry.

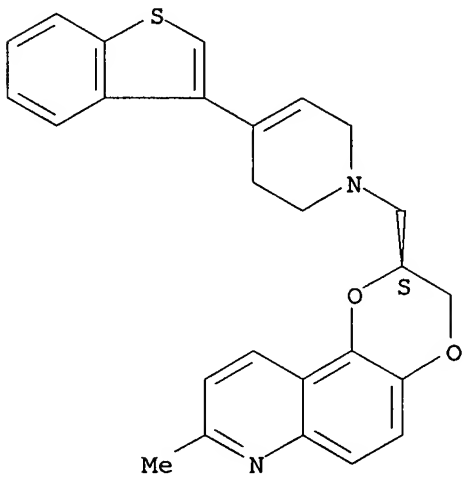


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

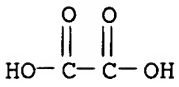
L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-3-yl-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1) (9CI)**
MF C26 H24 N2 O2 S . C2 H2 O4

CM 1

Absolute stereochemistry.



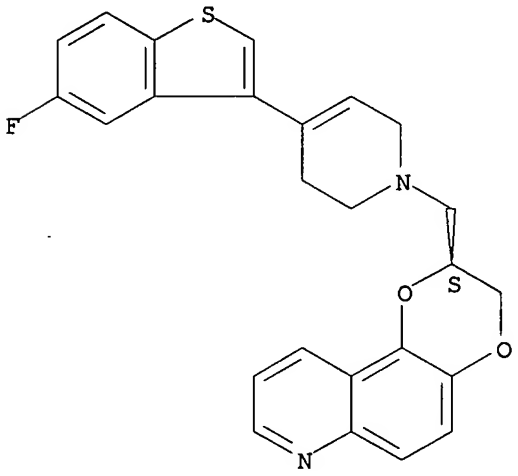
CM 2



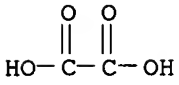
L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluorobenzo[b]thien-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (1:1) (9CI)**
MF C25 H21 F N2 O2 S . C2 H2 O4

CM 1

Absolute stereochemistry.

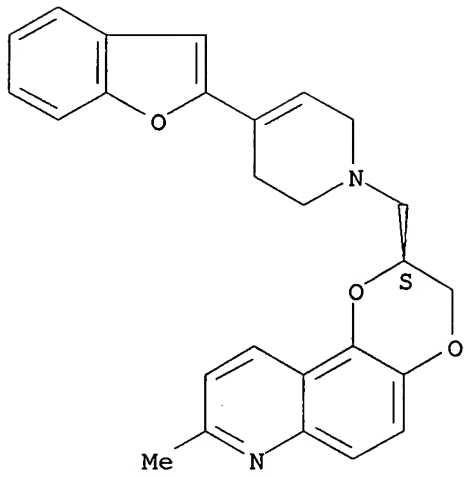


CM 2



L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2-benzofuranyl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)**
MF C26 H24 N2 O3

Absolute stereochemistry.



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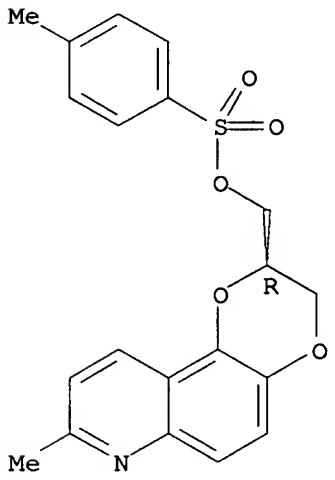
L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-, 4-methylbenzenesulfonate (ester), (2R)- (9CI)**
MF C20 H19 N O5 S

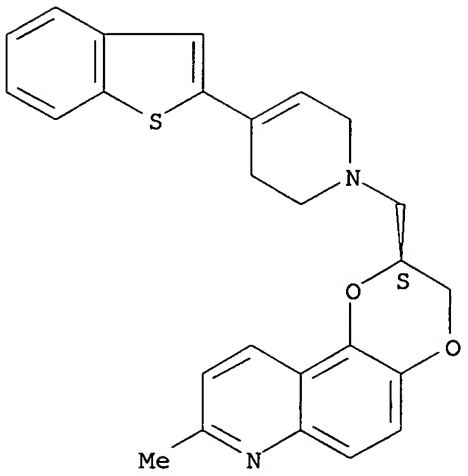
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-2-yl-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)**
MF C26 H24 N2 O2 S

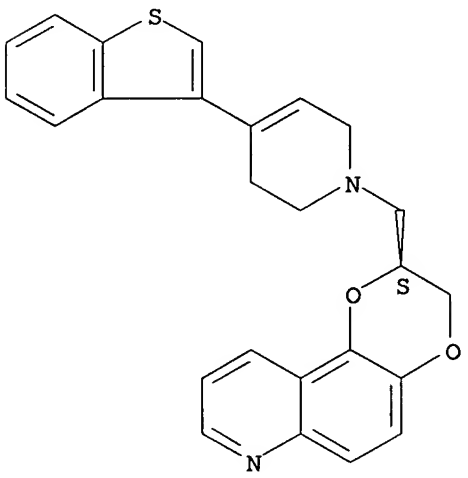
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-3-yl-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-, (2S)- (9CI)**
MF C25 H22 N2 O2 S
CI COM

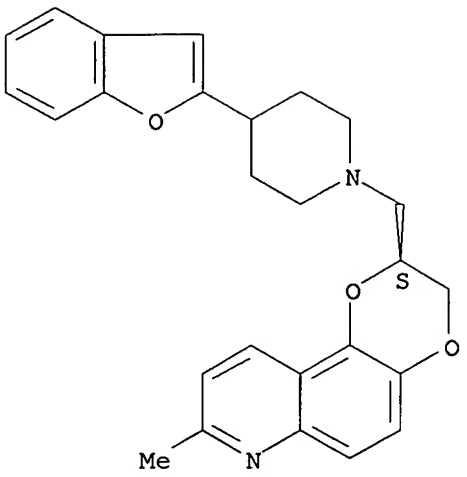
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2-benzofuranyl)-1-piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)**
MF C26 H26 N2 O3

Absolute stereochemistry.

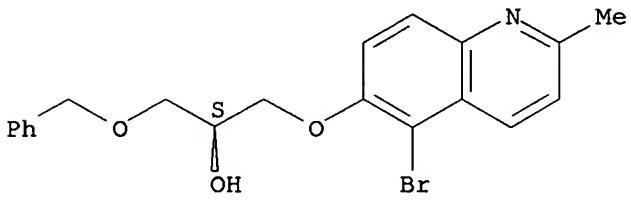


claim 28

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN **2-Propanol, 1-[(5-bromo-2-methyl-6-quinolinyl)oxy]-3-(phenylmethoxy)-, (2S)- (9CI)**
MF C20 H20 Br N O3

Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED